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FILE 'CAPLUS' ENTERED AT 12:57:15 ON 28 MAR 2010
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	48.48	241.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.80	-6.80

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	48.48	241.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.80	-6.80

FILE 'REGISTRY' ENTERED AT 12:57:23 ON 28 MAR 2010
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STRUCTURE FILE UPDATES: 26 MAR 2010 HIGHEST RN 1214987-89-9
DICTIONARY FILE UPDATES: 26 MAR 2010 HIGHEST RN 1214987-89-9

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=>
Uploading C:\Program Files\Stnexp\Queries\10583573a.str

L5 STRUCTURE UPLOADED

=> s l5
SAMPLE SEARCH INITIATED 12:57:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 967498 TO ITERATE

0.2% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

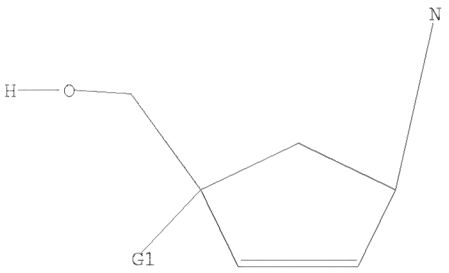
PROJECTED ITERATIONS: 19296128 TO 19403792
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=>
Uploading C:\Program Files\Stnexp\Queries\10583573b.str

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



G1 Ak,CH2,CF2,CF3,CN,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 12:58:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13322 TO ITERATE

15.0% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

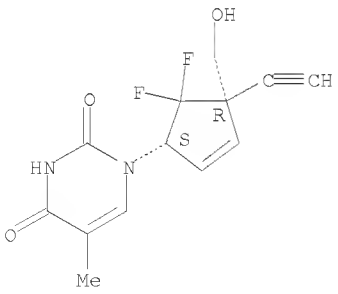
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 259523 TO 273357
PROJECTED ANSWERS: 1 TO 287

L8 1 SEA SSS SAM L7

=> d scan

L8 1 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S,4R)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-
MF C13 H12 F2 N2 O3

Absolute stereochemistry.



McIntosh

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l7 full
FULL SEARCH INITIATED 12:59:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 263761 TO ITERATE

100.0% PROCESSED 263761 ITERATIONS 74 ANSWERS
SEARCH TIME: 00.00.09

L9 74 SEA SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	192.52	433.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.80

FILE 'CAPLUS' ENTERED AT 12:59:26 ON 28 MAR 2010
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FILE COVERS 1907 - 28 Mar 2010 VOL 152 ISS 14
FILE LAST UPDATED: 26 Mar 2010 (20100326/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the first quarter of 2010.

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http://www.cas.org/legal/infopolicy.html

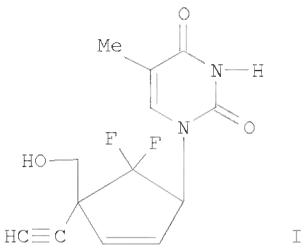
This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l9
L10 31 L9

=> d bib abs hitstr 1-31

L10 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:964432 CAPLUS
DN 151:403520
TI Synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine: a difluoromethylidene analog of promising anti-HIV agent Ed4T
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Nakamura, Kazuo T.; Kitagawa, Yasuyuki; Hamasaki, Takayuki; Baba, Masanori; Matsubayashi, Satoko Shimbara; Tanaka, Hiromichi
CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Tetrahedron (2009), 65(36), 7630-7636

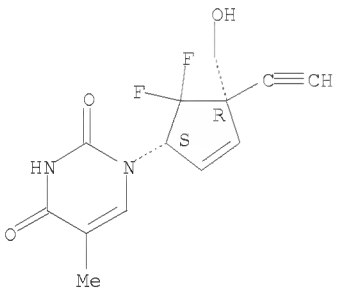
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 151:403520
GI



I

AB Synthesis of ethynyl-difluoro-dehydro-deoxy-carbocyclic-thymidine I was carried out. The difluoromethylylidene group of 8 was constructed by the electrophilic fluorination to the cyclopentenone by using Selectfluor. Introduction of thymine base was investigated based on the Mitsunobu reaction by employing cyclopentenyl allyl alcs. variously substituted at the 4-position. It was found the 4-methoxycarbonyl derivative 14 gave the highest selectivity both in terms of regio- and stereochem.
IT 1188386-13-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; synthesis of (±)-4'-ethynyl-5',5'-difluoro-2',3'-dehydro-3'-deoxy-carbocyclic thymidine analog of promising anti-HIV agent Ed4T via Mitsunobu nucleophilic substitution and electrophilic fluorination reactions)
RN 1188386-13-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

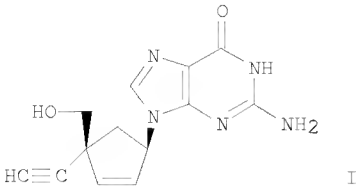
Relative stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1388797 CAPLUS
DN 151:56632
TI Synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogues using a ring-closing metathesis
AU Liu, Lian Jin; Ko, Ok Hyun; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2008), 29(9), 1723-1728
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 151:56632

GI



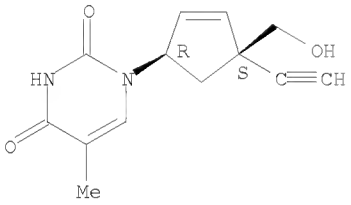
I

AB An efficient synthetic route for carbocyclic versions of stavudine analogs and their evaluation on antiviral activity are described. The construction of an ethynylated quaternary carbon at the 4'-position of carbocyclic nucleosides was accomplished using Claisen rearrangement of (E,Z)-3-(tert-butyldimethylsilyloxymethyl)pent-2-en-4-yn-1-ol and ring-closing metathesis (RCM) of a dienyne derivative as key transformations. An antiviral evaluation of the title compds. against HIV-1, HSV-1, HSV-2, and HCMV showed that only the guanine analog I is moderately active against HIV-1 in the MT-4 cell line (EC50 = 11.91 μmol).

IT 744217-40-1P 1160705-46-3P 1160705-49-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis)

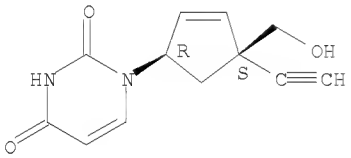
RN 744217-40-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



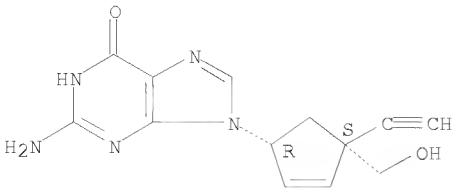
RN 1160705-46-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



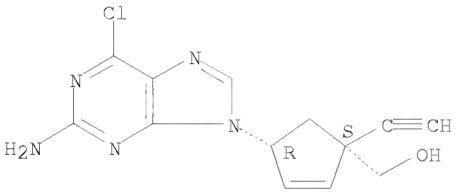
RN 1160705-49-6 CAPLUS
CN 6H-Purin-6-one, 2-amino-9-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



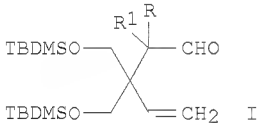
IT 1160705-48-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV-1 activity of carbocyclic versions of stavudine analogs using a ring-closing metathesis)
RN 1160705-48-5 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethynyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1352438 CAPLUS
DN 151:57074
TI Novel Synthesis and Anti-HIV Activity of 4'-Branched Exomethylene Carbocyclic Nucleosides Using a Ring-Closing Metathesis of Triene
AU Li, Hua; Yoo, Jin Cheol; Hong, Joon Hee
CS BK-21 Project Team, College of Pharmacy, Chosun University, Kwangju, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2008), 27(12), 1238-1249
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 151:57074
GI



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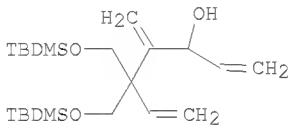
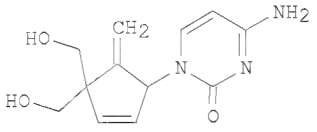


II



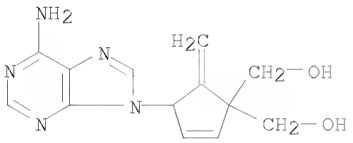
III

AB The exomethylene of I (RR1 = CH2) was successfully constructed from the aldehyde I (R = R1 = H) using Eschenmoser's reagents. A triene compound II was cyclized successfully using Grubbs' II catalyst to give an

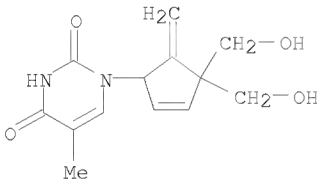
exomethylene carbocycle nucleus for the target compound A Mitsunobu reaction was successfully used to condense the natural bases (adenine, thymine, uracil, and cytosine). The synthesized cytosine analog III showed moderate anti-HIV activity (EC50 = 10.67 μM).

IT 1160714-25-9P 1160714-34-0P 1160714-35-1P
1160714-37-3P 1160714-38-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene)

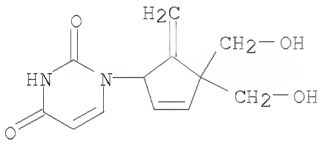
RN 1160714-25-9 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-5-methylene- (CA INDEX NAME)



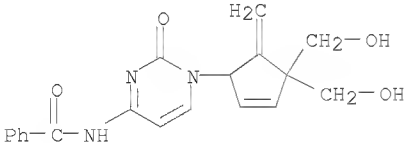
RN 1160714-34-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



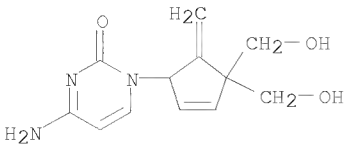
RN 1160714-35-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



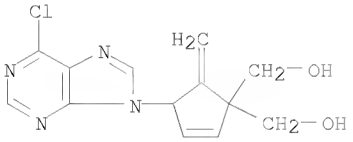
RN 1160714-37-3 CAPLUS
CN Benzamide, N-[1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (CA INDEX NAME)



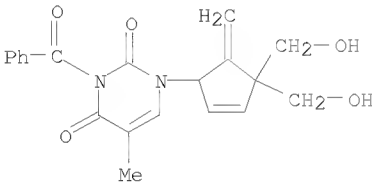
RN 1160714-38-4 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)



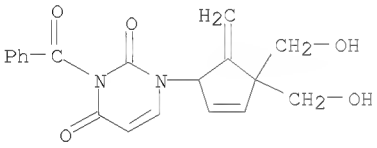
IT 1160714-24-8P 1160714-32-8P 1160714-33-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of 4'-branched exomethylene carbocyclic nucleosides using sigmatropic rearrangement, Eschenmoser methylenation, and ring-closure metathesis of triene)
RN 1160714-24-8 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-chloro-9H-purin-9-yl)-5-methylene- (CA INDEX NAME)



RN 1160714-32-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



RN 1160714-33-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-[4,4-bis(hydroxymethyl)-5-methylene-2-cyclopenten-1-yl]- (CA INDEX NAME)

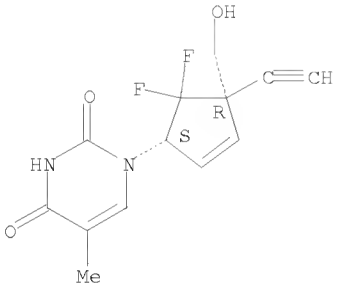


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1153976 CAPLUS
DN 150:252109
TI Synthesis and antiviral evaluation of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analogue
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Ida, Mayumi; Tanaka, Hiromichi; Hamasaki, Takayuki; Baba, Masanori
CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Nucleic Acids Symposium Series (2008), 52(1), 609-610
CODEN: NASSCJ; ISSN: 1746-8272
URL: http://nass.oxfordjournals.org/content/vol52/issue1/index.dtl
PB Oxford University Press
DT Journal; (online computer file)

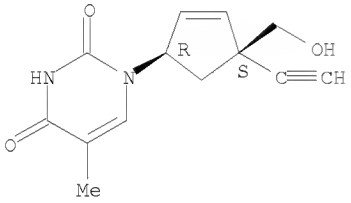
LA English
AB Synthesis of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog 8, in which the furanose ring oxygen of usual nucleosides is replaced with a geminal-difluoromethylidene group, was carried out. Electrophilic fluorination with Selectfluor was applied to construct a gem-di-fluorocyclopentenone system to give 12. Regioselective introduction of thymine base was performed under the Mitsunobu conditions by employing the 4-methoxy-carbonyl derivative 13. Antiviral evaluation of 8 was also examined
IT 1119274-60-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiviral effect of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-60-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1S,4R)-4-ethynyl-5,5-difluoro-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 1119274-59-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis and antiviral effect of (±)-4'-ethynyl-5'-difluorocarbocyclic-d4T analog)
RN 1119274-59-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

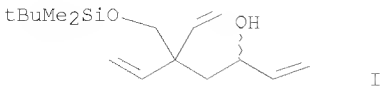
Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:748000 CAPLUS
DN 150:214607
TI An efficient synthesis of 4'-vinylated carboxylic nucleoside analogues via two directional ring-closing metathesis
AU Li, Hua; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2008), 29(5), 993-997
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 150:214607

GI



I

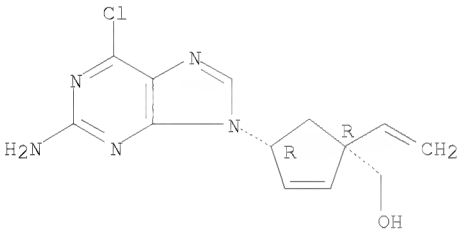
AB Two-directional ring-closing metathesis (RCM) was applied successfully to the synthesis of 4'-vinylated carbocyclic nucleoside analogs from the trivinyl intermediate I, which was readily made using a sequential Claisen rearrangement starting from Weinreb amide Me3CMe2SiOCH2CONMeOMe. An antiviral evaluation of the synthesized compds. against various viruses such as HIV, HSV-1, HSV-2, and HCMV revealed that the coresponding guanine analog has moderate anti-HIV activity in the MT-4 cell line (EC50 = 10.2 μM).

IT 1112877-76-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

RN 1112877-76-5 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

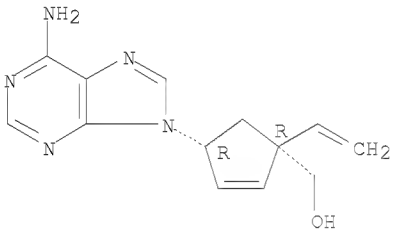


IT 1112877-71-0P 1112877-74-3P 1112877-78-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of antiviral vinylated carboxylic nucleoside analogs via two-directional ring-closing metathesis)

RN 1112877-71-0 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1-ethenyl-, (1R,4R)-rel- (CA INDEX NAME)

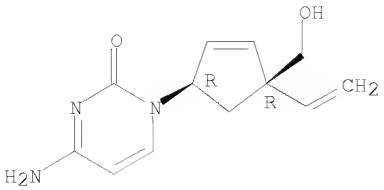
Relative stereochemistry.



RN 1112877-74-3 CAPLUS

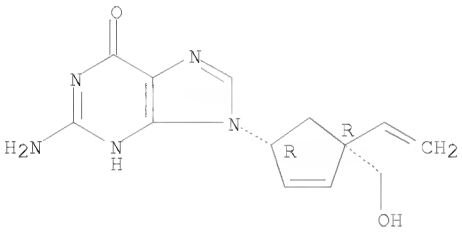
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



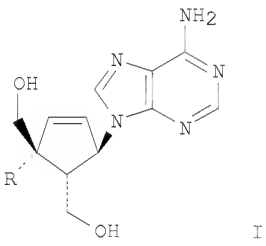
RN 1112877-78-7 CAPLUS
CN 6H-Purin-6-one, 2-amino-9-[(1R,4R)-4-ethenyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:52247 CAPLUS
DN 148:331932
TI Synthesis of (±)-9-[c-4, t-5-bis(hydroxymethyl)cyclopent-2-en-r-1-yl]-9H-adenine (BCA) derivatives branched at the 4'-position based on intramolecular SH2' cyclization
AU Kumamoto, Hiroki; Takahashi, Nonoko; Shimamura, Tomomi; Tanaka, Hiromichi; Nakamura, Kazuo T.; Hamasaki, Takayuki; Baba, Masanori; Abe, Hiroshi; Yano, Masahiko; Kato, Nobuyuki
CS School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Tetrahedron (2008), 64(7), 1494-1505
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 148:331932
GI



I

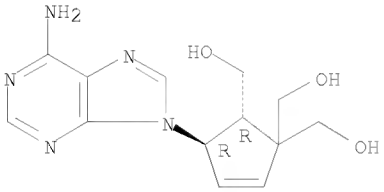
AB Synthesis of analogs of (±)-9-[c-4, t-5-bis(hydroxymethyl)cyclopent-2-en-r-1-yl]-9H-adenine (BCA) was carried out. Stereospecific construction of the cis-disposed 4'-carbon-substituents and 5'-hydroxymethyl group was secured by employing the bicyclo[3.3.0]lactone as a key intermediate, which was prepared by radical-mediated intramol. SH2' cyclization of the phenylselenomethyl ester. After stereoselective epoxidn., ring opening and isomerization of

the bicyclo[3.3.0]lactone, bis(Boc)adenine was introduced based on the Mitsunobu reaction. Transformation of the lactone function allowed preparation of the 4'-hydroxymethyl, the 4'-vinyl, the 4'-cyano, and the 4'-ethynyl derivs. Anti-HIV and anti-HCV activities of the free nucleosides I (R = CH2OH, CH=CH2, C≡CH) were also examined

IT 1011286-44-4P 1011286-45-5P 1011286-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(no activity; stereoselective synthesis, anti-HIV and anti-HCV activity of bis(hydroxymethyl)cyclopentenyl adenines via stereoselective radical intramol. SH2' cyclization, epoxidn., ring opening, isomerization and Mitsunobu reaction)

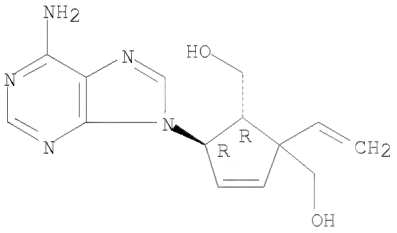
RN 1011286-44-4 CAPLUS
CN 4-Cyclopentene-1,1,2-trimethanol, 3-(6-amino-9H-purin-9-yl)-, (2R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



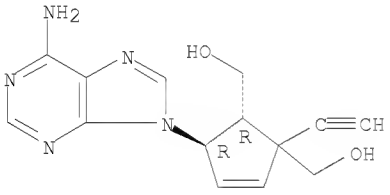
RN 1011286-45-5 CAPLUS
CN 3-Cyclopentene-1,2-dimethanol, 5-(6-amino-9H-purin-9-yl)-2-ethenyl-, (1R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1011286-46-6 CAPLUS
CN 3-Cyclopentene-1,2-dimethanol, 5-(6-amino-9H-purin-9-yl)-2-ethynyl-, (1R,5R)-rel- (CA INDEX NAME)

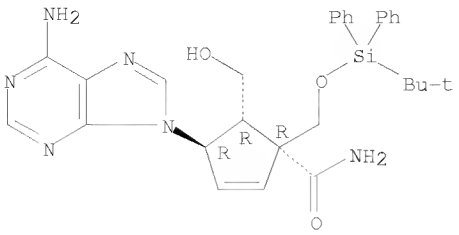
Relative stereochemistry.



IT 1011286-36-4P
RL: BYP (Byproduct); PREP (Preparation)
(stereoselective synthesis of bis(hydroxymethyl)cyclopentenyl adenines via stereoselective radical-mediated intramol. SH2' cyclization, epoxidn., ring opening, isomerization and Mitsunobu reaction from cyclopentenone and adenine)

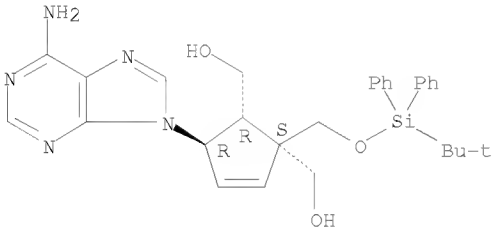
RN 1011286-36-4 CAPLUS
CN 2-Cyclopentene-1-carboxamide, 4-(6-amino-9H-purin-9-yl)-1-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-5-(hydroxymethyl)-, (1R,4R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



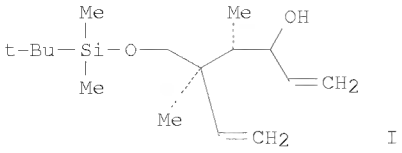
IT 1011286-38-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of bis(hydroxymethyl)cyclopentenyl adenines via stereoselective radical-mediated intramol. SH2' cyclization, epoxidn., ring opening, isomerization and Mitsunobu reaction from cyclopentenone and adenine)
RN 1011286-38-6 CAPLUS
CN 3-Cyclopentene-1,2-dimethanol, 5-(6-amino-9H-purin-9-yl)-2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-, (1R,2S,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.

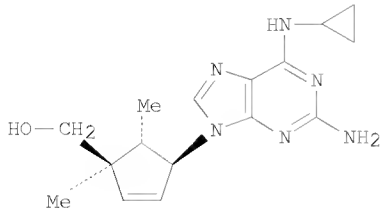


OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:1426961 CAPLUS
DN 149:471738
TI Efficient construction of quaternary carbon: stereocontrolled synthesis of novel abacavir analogue
AU Kim, Aihong; Hong, Joon Hee
CS BK-21 Project Team, College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2007), 28(9), 1545-1548
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 149:471738
GI



I



II

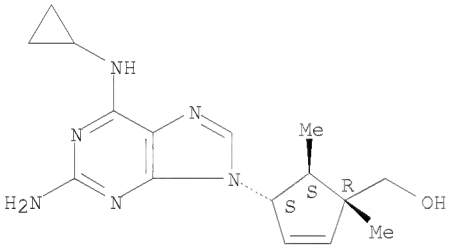
AB This paper discusses the racemic and stereoselective synthetic route for novel 4'α-Me and 6'α-Me analogs of abacavir. The quaternary carbon at the 4'-position of carbocyclic nucleoside was installed successfully via a Claisen rearrangement. The stereocontrolled construction of a Me group in the 6'α-position was directed through the Felkin-Anh rule. The divinyl compound I was cyclized successfully using Grubbs' catalyst II to provide a carbocycle nucleus for the target compound The synthesized compound II showed moderate anti-HIV activity (EC50 = 10.67 μM, MT-4 cell lines).

IT 1070911-32-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of anti-HIV abacavir analog via Claisen rearrangement, stereoselective methylation, and ring-closing metathesis)

RN 1070911-32-8 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-1,5-dimethyl-, (1R,4S,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.

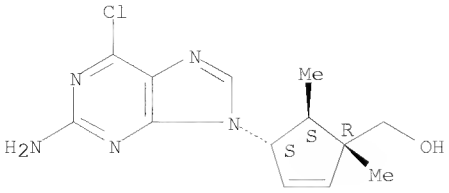


IT 1070911-31-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anti-HIV abacavir analog via Claisen rearrangement, stereoselective methylation, and ring-closing metathesis)

RN 1070911-31-7 CAPLUS

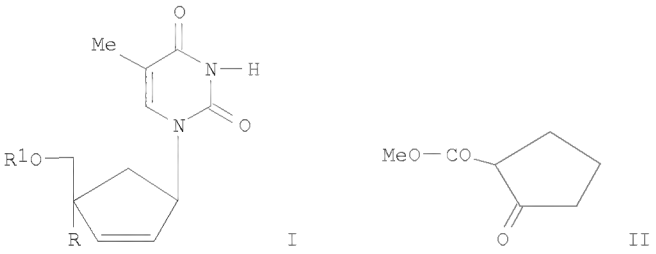
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1,5-dimethyl-, (1R,4S,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

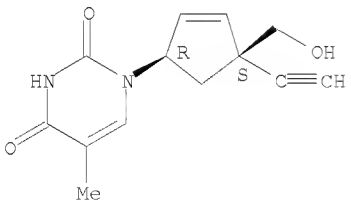
L10 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:662076 CAPLUS
DN 148:496265
TI Synthesis of carbocyclic analogs of 4'-ethynyl- and 4'-cyano-d4T
AU Kumamoto, Hiroki; Kato, Keisuke; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi
CS School of Pharmaceutical Sciences, Showa University, 1-5-8 Hatanodai, Shinagawa-ku, Tokyo, 142-8555, Japan
SO Nucleic Acids Symposium Series (2004), (48), 41-42
CODEN: NASSCJ
URL: http://nass.oxfordjournals.org/content/vol48/issue1/index.dtl
PB Oxford University Press
DT Journal; (online computer file)
LA English
OS CASREACT 148:496265
GI



I II

AB Synthesis of carbocyclic analogs of 4'-ethynyl and cyano-d4T I (R = C.tplbond.CH, CN; R1 = H), was investigated. The ethynyl or cyano group was constructed by conversion of the ester function of key intermediate I (R = CO2Me, R1 = TBDPS). The carbocyclic unit I (R = CO2Me, R1 = TBDPS) was prepared from readily available β-keto ester II.
IT 744217-40-1P 871249-77-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of carbocyclic analogs of ethynyl and cyanodt)
RN 744217-40-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

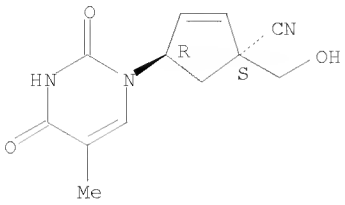
Relative stereochemistry.



RN 871249-77-3 CAPLUS
CN 2-Cyclopentene-1-carbonitrile, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-

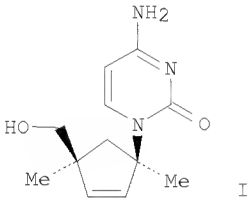
pyrimidinyl)-1-(hydroxymethyl)-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

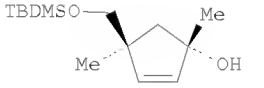


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:562121 CAPLUS
DN 148:403475
TI Synthesis of novel 1,4-disubstituted nucleosides as potential antitumor agents
AU Kim, Aihong; Ko, Ok Hyun; Hong, Joon Hee
CS BK21-Project Team, College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Yakhak Hoechi (2007), 51(2), 103-107
CODEN: YAHOA3; ISSN: 0377-9556
PB Pharmaceutical Society of Korea
DT Journal
LA Korean
OS CASREACT 148:403475
GI



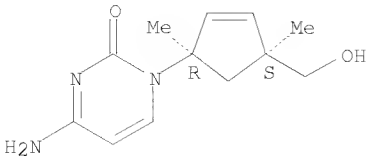
I



II

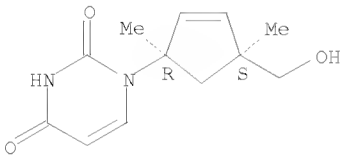
AB In these study, novel 1,4-disubstituted carbocyclic nucleoside analogs, e.g., I, were synthesized as potential antiviral agents. The coupling reaction of the alc. II with natural bases using Mitsunobu reaction afforded the target nucleosides. The synthesized nucleosides were evaluated for their antiviral activity against various viruses such as HIV-1, HSV-1, HSV-2 and HCMV. Cytosine derivative I exhibited moderate antiviral activity against HIV-1 (EC50 = 16.4 μM).
IT 1015794-75-8P 1015794-76-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of disubstituted carbocyclic nucleosides via nucleophilic addition and oxidation of pentenal derivative to generate heptadienol derivative which undergoes ring-closing metathesis and coupling with pyrimidine bases)
RN 1015794-75-8 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-(hydroxymethyl)-1,4-dimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1015794-76-9 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-(hydroxymethyl)-1,4-dimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



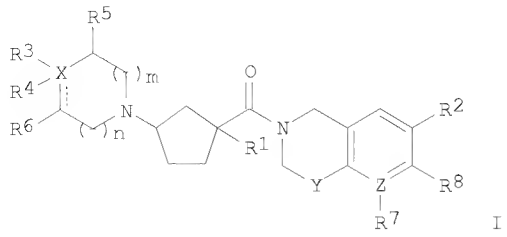
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L10 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:301787 CAPLUS
DN 144:350698
TI Preparation of benzoxazine derivatives as modulators of chemokine receptors for treatment of inflammation and immunoregulatory diseases
IN Goble, Stephen D.; Mills, Sander G.; Yang, Lihu; Pasternak, Alexander; Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel; Hutchinson, John H.; Hu, Essa; Govek, Steven
PA Merck & Co., Inc., USA
SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of Appl. No. PCT/US04/011281. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

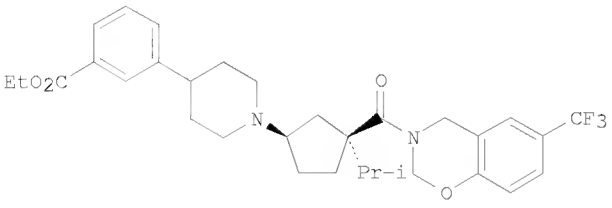
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060069088	A1	20060330	US 2005-129512	20050513
	WO 2004092124	A2	20041028	WO 2004-US11281	20040408
	WO 2004092124	A3	20050414		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2003-463111P P 20030415
WO 2004-US11281 A2 20040408
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 144:350698
GI



I



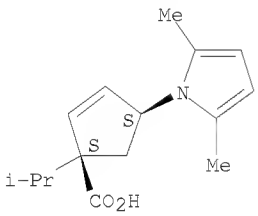
II

AB Title benzoxazine derivs. I [wherein X = C, N, O, or S; Y = O, S, SO, SO2, or (un)substituted NH; Z = C or N; R1 = H, (un)substituted alkoxy(alkyl), alkylthio(alkyl), heterocycloxy(alkyl), etc.; R2 = halo, (un)substituted alkyl, alkoxy(alkyl), alkylthio(alkyl), etc.; R3 = H, (un)substituted phenyl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), etc.; R4 = OH, CN, alkoxyl, etc.; R5 and R6 = independently H, OH, halo, alkyl, alkoxyl, etc.; when Z = C, R7 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; when Z = N, R7 is nothing or oxide; R8 = H, alkyl, CF3, OCF3, halo, etc.; m and n = independently 0-2 wherein m + n = 0-3], or pharmaceutically acceptable salts or diastereomers thereof were prepared as modulators of CCR2 chemokine receptors. For example, II was prepared in a multi-step synthesis. The title compds. are useful as modulators of CCR-2 chemokine receptors for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data).

IT 851916-39-7P 881493-31-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoxazine derivs. as modulators of chemokine receptors for treatment of inflammatory and immunoregulatory diseases)

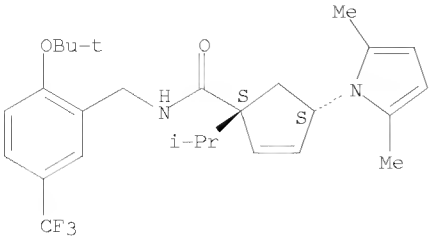
RN 851916-39-7 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

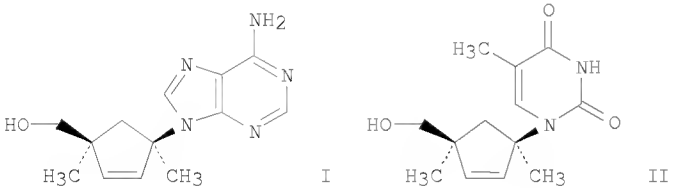


RN 881493-31-8 CAPLUS
CN 2-Cyclopentene-1-carboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

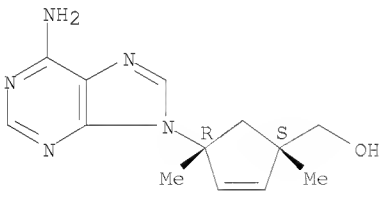


L10 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:39566 CAPLUS
DN 145:471793
TI Simple synthesis of novel 1',4'-dimethyl branched carbovir analogues
AU Kim, Aihong; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2005), 26(11), 1767-1770
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 145:471793
GI



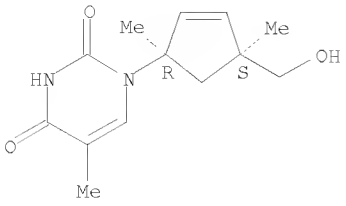
AB Novel 1',4'-dimethyl branched carbocyclic nucleosides I and II were synthesized from acetol via diastereoselective ring-closing metathesis and Mitsunobu reaction. Antiviral activity of the synthesized nucleosides were tested against HIV-1, HSV-1, HSV-2 and HCMV to find that these compds. have no significant or cytotoxicity at concentration up to 100 μM.
IT 913971-89-8P 913971-90-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of carbovir analogs of di-Me branched carbocyclic nucleosides via diastereoselective ring-closing metathesis and regioselective Mitsunobu reaction from acetol)
RN 913971-89-8 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1,4-dimethyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



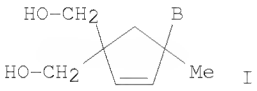
RN 913971-90-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-(hydroxymethyl)-1,4-dimethyl-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

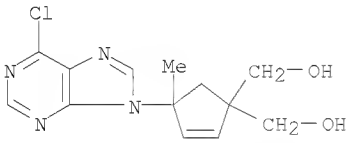


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

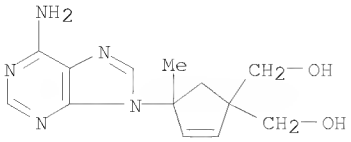
L10 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:1229303 CAPLUS
DN 145:28206
TI Synthesis and antiviral activity of novel anomeric branched carbocyclic nucleosides
AU Kim, Aihong; Hong, Joon Hee
CS Coll. of Pharm., Chosun Univ., Kwangju, 501-759, S. Korea
SO Archives of Pharmacal Research (2005), 28(10), 1105-1110
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
OS CASREACT 145:28206
GI



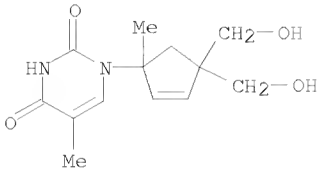
AB Novel anomeric branched carbocyclic nucleosides were synthesized from 1,3-dihydroxy acetone. 4'-Hydroxymethyl was installed by [3,3]-sigmatropic rearrangement reaction and 1'-Me group was introduced by carbonyl addition of methylmagnesium bromide. The coupling of nucleosidic bases and desilylation afforded a series of novel nucleosides. The synthesized compds. I, wherein B is 6-chloropurine, adenine, thymine or uracil were evaluated for their antiviral activity against HIV-1, HSV-1, HSV-2, and EMCV. I, B is 6-chloropurine and uracil, exhibit toxicity non-related to any anti-HIV-1 activity.
IT 888311-47-5P 888311-48-6P 888311-49-7P
888311-50-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of anomeric branched carbocyclic nucleosides and their antiviral activity against HIV-1, HSV-1, HSV-2, and EMCV)
RN 888311-47-5 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-chloro-9H-purin-9-yl)-4-methyl- (CA INDEX NAME)



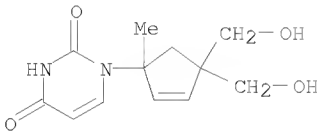
RN 888311-48-6 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-4-methyl- (CA INDEX NAME)



RN 888311-49-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-1-methyl-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)



RN 888311-50-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-1-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:732547 CAPLUS
DN 143:211931
TI Preparation of aminocyclopentyl pyridopyrazinones as modulators of chemokine receptor activity
IN Butora, Gabor; Guiadeen, Deodialsingh; Kothandaraman, Shankaran; Maccoss, Malcolm; Mills, Sander G.; Yang, Lihu
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005072361	A2	20050811	WO 2005-US2454	20050126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005208887	A1	20050811	AU 2005-208887	20050126
AU 2005208887	B2	20100225		
CA 2554387	A1	20050811	CA 2005-2554387	20050126
EP 1718152	A2	20061108	EP 2005-722554	20050126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1913778	A	20070214	CN 2005-80003340	20050126

	JP 2007519734	T	20070719	JP 2006-551434	20050126
	US 20070155731	A1	20070705	US 2006-587118	20060721
	IN 2006DN04342	A	20070713	IN 2006-DN4342	20060727
PRAI	US 2004-539691P	P	20040128		
	WO 2005-US2454	W	20050126		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 143:211931; MARPAT 143:211931
GI

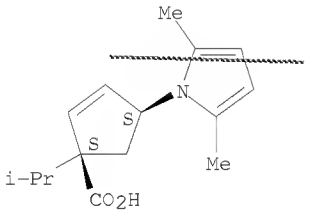
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I, II; A = CH2, O, S, SO, SO2, imino, etc.; E = N, C; X = O, N, S, SO2, C; Y = O, imino, S, SO, SO2, CH2, CO, etc.; Z = C, N, O; R1 = H, CN, (substituted) alkyl, alkoxy, alkylthio, alkylcarbonyloxy, etc.; R2, R3 = null, H, alkyl, fluoroalkyl, etc.; R4 = H, (fluoro)alkyl, (substituted) alkoxy; R5 = F, Cl, Br, CN, heterocyclyl, cycloalkyl, (substituted) alkyl, etc.; R6 = H, OH, F, Cl, Br, Ph, heterocyclyl, (substituted) alkyl; R7 = H, (alkyl)phenyl, (alkyl)heterocyclyl, (alkyl)cycloalkyl etc.; W = bond, O, S, SO, SO2, CO, CO2, CONR12, NR12; V = alkyl, Ph; R23 = H, alkyl, linker moiety to V; R7 = null when X = O, S, SO2; R8 = H, OH, alkyl, hydroxyalkyl, alkoxy, etc.; R8 = null when X = O, S, SO2, N; R7R8 = atoms to form (substituted) indenyl, benzofuryl, cyclopentyl, cyclohexyl, etc.; R9, R10 = H, OH, alkyl, hydroxyalkyl, alkoxy, halo, etc.; R9R10 = O; R7R9, R8R10 = atoms to form (substituted) Ph, heterocyclyl; R12 = H, (substituted) alkyl, Ph, PhCH2; R15 = H, (substituted) alkyl; R16 = H, F, cycloalkyl, cycloalkoxy, OH, (substituted) alkyl, etc.; R17 = H, OH, (substituted) alkyl, alkoxy, etc.; R18 = H, F, (substituted) (cyclo)alkoxy, alkyl; R19 = H, (substituted) alkyl, SO2R14, etc.; R25, R26 = O, H, (substituted) Ph, alkyl; R29, R33 = null, H, OH, alkyl, etc.; R30, R31 = OH, alkyl, hydroxyalkyl, etc.; R32, R34 = H, OH, alkyl, etc.; B = (CR19R24)j; D = (CR17R28)k; F = (CR30R32)n; G = (CR31R34)m; j, k, m = 0-2; n = 1, 2], were prepared as drugs (no data). Thus, title compound (III) was prepared in several steps.

IT 851916-39-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminocyclopentyl pyridopyrazinones as modulators of chemokine receptor activity)

RN 851916-39-7 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:426567 CAPLUS
DN 142:482029
TI Preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist
IN Cai, Dongwei; Fleitz, Fred; Ge, Min; Hoerrner, Scott; Javadi, Gary; Jensen, Mark; Larsen, Robert; Li, Wenjie; Nelson, Dorian; Szumigala, Elizabeth; Yang, Lihu; Zhou, Changyou
PA Merck & Co., Inc., USA

SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005044795	A1	20050519	WO 2004-US35294	20041025
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004287810	A1	20050519	AU 2004-287810	20041025
	CA 2543250	A1	20050519	CA 2004-2543250	20041025
	EP 1682500	A1	20060726	EP 2004-796305	20041025
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004015862	A	20070109	BR 2004-15862	20041025
	JP 2007509944	T	20070419	JP 2006-538149	20041025
	TW 294426	B	20080311	TW 2004-93132410	20041026
	IN 2006DN02137	A	20070629	IN 2006-DN2137	20060419
	CN 101160286	A	20080409	CN 2004-80031591	20060426
	US 20070135475	A1	20070614	US 2006-577587	20060427
	US 7361765	B2	20080422		
PRAI	US 2003-514754P	P	20031027		
	WO 2004-US35294	W	20041025		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:482029; MARPAT 142:482029
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides an efficient synthesis for the preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine (I) and its succinate salt. The present invention addnl. provides an efficient syntheses for the preparation of intermediates, i.e. (3R)-3-methoxytetrahydro-4H-pyran-4-one (II), (1S,4S)-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-isopropylcyclopent-2-ene-1-carboxylic acid (III), and 3-(trifluoromethyl)-5,6,7,8-tetrahydro-1,6-naphthyridine (IV), and for the preparation of the precursor (3S,4S)-N-((1S,4S)-4-isopropyl-4-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopent-2-en-1-yl)-3-methoxytetrahydro-2H-pyran-4-amine (V). The invention addnl. resides in the superior properties of the I succinate. Thus, 730 g III was treated with 228 mL methanesulfonyl chloride in the presence of 0.93 L diisopropylethylamine in 6.6 L THF at 0-13°, stirred at room temperature for 4 h, cooled to .apprx.15°, treated with IV.HCl, warmed to 23°, treated with 0.93 L diisopropylethylamine with cooling at .apprx.25° over 15 min, and aged for .apprx.1 h to give 1.055 kg the compound (VI). VI (1.055 kg) in MeOH was added to 1 kg hydroxylamine hydrochloride, 50% aqueous hydroxylamine (1 L), and 5 L H2O, and the resulting slurry was refluxed at 71° for 6 h to give, after treatment with anhydrous HCl in isopropanol, the amine dihydrochloride (VII) (0.76 kg). VII (777 g) was slurried in 3 L iso-Pr acetate and the mixture was cooled in an ice bath, successively treated with 860 mL n-Bu3N, 260 mL isopropanol, and sodium triacetoxyborohydride (724 g, at 5°), and after 1 h, treated with a solution of II in iso-Pr acetate (1.76 L of a 160 g/L solution), and allowed to react for 6 h to give 654 g crude V (90%). V (640 g) was diluted with 6.4 L MeOH, charged to an autoclave, treated with a slurry of 256 g 5% Pd-C in 5.0 L MeOH, and hydrogenated under H pressure of 40 psi at 25° overnight to give a solution of 633 g I in MeOH (98.5%) which was concentrated to an oil (770 g). The oil was dissolved in 3.1 L iso-Pr acetate and the

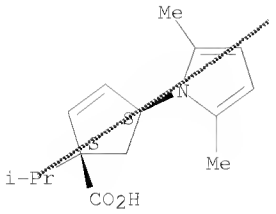
solution was concentrated to a brown oil. Dilution with iso-Pr acetate and concentration was repeated two addnl. times. The resulting oil was converted into I benzenesulfonate (1.645 kg) by treating with 283 g benzenesulfonic acid in iso-Pr acetate and treatment with heptane and the resulting benzenesulfonate salt was treated with a mixture of K2CO3, H2O, and iso-Pr acetate to give an oil containing 1.16 kg I. The latter oil was treated with 294 g succinic acid in ethanol and heptane to give 1.328 kg I succinate.

IT 851916-39-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist)

RN 851916-39-7 CAPLUS

CN 2-Cyclopentene-1-carboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:426431 CAPLUS
DN 142:482028
TI Preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist
IN Jensen, Mark; Larsen, Robert; Sidler, Daniel Richard
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005044264	A1	20050519	WO 2004-US35069	20041025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004287416	A1	20050519	AU 2004-287416	20041025
AU 2004287416	B2	20091119		
CA 2543201	A1	20050519	CA 2004-2543201	20041025
EP 1682135	A1	20060726	EP 2004-796120	20041025
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1870998	A	20061129	CN 2004-80031594	20041025
BR 2004015836	A	20070102	BR 2004-15836	20041025
JP 2007509940	T	20070419	JP 2006-538125	20041025
RU 2317295	C1	20080220	RU 2006-118352	20041025
NZ 546447	A	20090228	NZ 2004-546447	20041025

	ZA 2006002752	A	20070829	ZA 2006-2752	20060404
	IN 2006DN02140	A	20070810	IN 2006-DN2140	20060419
	MX 2006004647	A	20060627	MX 2006-4647	20060426
	US 20070135474	A1	20070614	US 2006-577584	20060427
	US 7473696	B2	20090106		
	NO 2006002377	A	20060524	NO 2006-2377	20060524
PRAI	US 2003-514735P	P	20031027		
	WO 2004-US35069	W	20041025		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:482028
GI

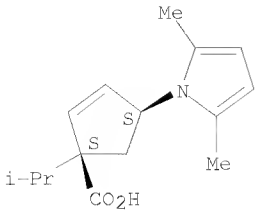
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides an efficient synthesis for the preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine (I) and its succinate salt. The present invention addnl. provides an efficient syntheses for the preparation of intermediates, i.e. (3R)-3-methoxytetrahydro-4H-pyran-4-one (II), (1S,4S)-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-isopropylcyclopent-2-ene-1-carboxylic acid (III), and 3-(trifluoromethyl)-5,6,7,8-tetrahydro-1,6-naphthyridine (IV), and for the preparation of the precursor (3S,4S)-N-((1S,4S)-4-isopropyl-4-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopent-2-en-1-yl)-3-methoxytetrahydro-2H-pyran-4-amine (V). The invention addnl. resides in the superior properties of the I succinate. I succinate is useful for treating, ameliorating, controlling or reducing the risk of an inflammatory and immunoregulatory disorder or disease or rheumatoid arthritis. Thus, 730 g III was treated with 228 mL methanesulfonyl chloride in the presence of 0.93 L diisopropylethylamine in 6.6 L THF at 0-13°, stirred at room temperature for 4 h, cooled to .apprx.15°, treated with IV.HCl, warmed to 23°, treated with 0.93 L diisopropylethylamine with cooling at .apprx.25° over 15 min, and aged for .apprx.1 h to give 1.055 kg the compound (VI). VI (1.055 kg) in MeOH was added to 1 kg hydroxylamine hydrochloride, 50% aqueous hydroxylamine (1 L), and 5 L H2O, and the resulting slurry was refluxed at 71° for 6 h to give, after treatment with anhydrous HCl in isopropanol, the amine dihydrochloride (VII) (0.76 kg). VII (777 g) was slurried in 3 L iso-Pr acetate and the mixture was cooled in an ice bath, successively treated with 860 mL n-Bu3N, 260 mL isopropanol, and sodium triacetoxyborohydride (724 g, at 5°), and after 1 h, treated with a solution of II in iso-Pr acetate (1.76 L of a 160 g/L solution), and allowed to react for 6 h to give 654 g crude V (90%). V (640 g) was diluted with 6.4 L MeOH, charged to an autoclave, treated with a slurry of 256 g 5% Pd-C in 5.0 L MeOH, and hydrogenated under H pressure of 40 psi at 25° overnight to give a solution of 633 g I in MeOH (98.5%) which was concentrated to an oil (770 g). The oil was dissolved in 3.1 L iso-Pr acetate and the solution was concentrated to a brown oil. Dilution with iso-Pr acetate and concentration was repeated two addnl. times. The resulting oil was converted into I benzenesulfonate (1.645 kg) by treating with 283 g benzenesulfonic acid in iso-Pr acetate and treatment with heptane and the resulting benzenesulfonate salt was treated with a mixture of K2CO3, H2O, and iso-Pr acetate to give an oil containing 1.16 kg I. The latter oil was treated with 294 g succinic acid in ethanol and heptane to give 1.328 kg I succinate.

IT 851916-39-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(1R,3S)-3-isopropyl-3-[[3-(trifluoromethyl)-7,8-dihydro-1,6-naphthyridin-6(5H)-yl]carbonyl]cyclopentyl][(3S,4S)-3-methoxytetrahydro-2H-pyran-4-yl]amine salt as chemokine receptor CCR-2 antagonist)

RN 851916-39-7 CAPLUS
CN 2-Cyclopentene-1-carboxylic acid, 4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1-methylethyl)-, (1S,4S)- (CA INDEX NAME)

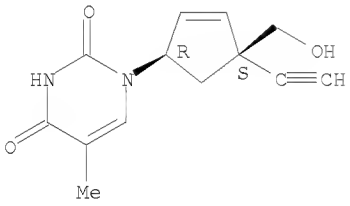
Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

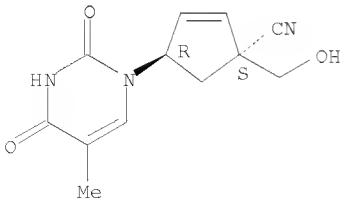
L10 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:351348 CAPLUS
DN 144:51794
TI Synthesis of (±)-4'-ethynyl and 4'-cyano carbocyclic analogs of stavudine (d4T)
AU Kumamoto, Hiroki; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Nitanda, Takao; Baba, Masanori; Dutschman, Ginger E.; Cheng, Yung-Chi; Kato, Keisuke
CS Pharmaceutical Sciences, Showa University, Tokyo, Japan
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(2), 73-83
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 144:51794
AB The synthesis of (±)-4'-ethynyl (I) and 4'-cyano (II) carbocyclic analogs of the anti-HIV agent stavudine (d4T) is reported. The carbocyclic unit was constructed from readily available β-keto ester. The ethynyl or cyano group of I and II were prepared, after the introduction of thymine base, by manipulation of the ester function. Evaluation of the anti-HIV activity of I and II was also carried out, but ultimately did not inhibit the virus.
IT 744217-40-1P 871249-77-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HIV activity of (±)-4'-ethynyl and 4'-cyano carbocyclic nucleoside stavudine analogs)
RN 744217-40-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



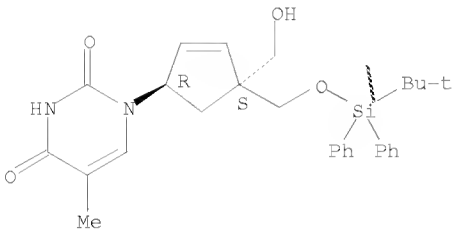
RN 871249-77-3 CAPLUS
CN 2-Cyclopentene-1-carbonitrile, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-(hydroxymethyl)-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 744217-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of (±)-4'-ethynyl and 4'-cyano carbocyclic nucleoside stavudine analogs)
RN 744217-37-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

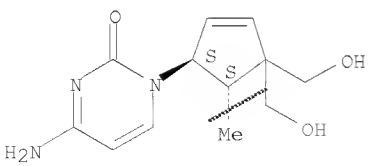
Relative stereochemistry.



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:245430 CAPLUS
DN 143:415612
TI Synthesis and antiviral activity of novel 4',5'-branched pyrimidine nucleosides
AU Kim, Aihong; Kooh, Dae-Ho; Ko, Ok Hyun; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Yakhak Hoechi (2005), 49(1), 20-24
CODEN: YAHOA3; ISSN: 0377-9556
PB Pharmaceutical Society of Korea
DT Journal
LA Korean
OS CASREACT 143:415612
AB The synthesis of 4',5'-doubly branched carbocyclic nucleosides was accomplished in this study. The selective methylation in the 5'-position was made by Felkin-Anh controlled Grignard addition The construction of the required 4'-quaternary carbon was carried out by using a [3,3]-sigmatropic rearrangement. Bis-vinyl 6 was successfully cyclized using a Grubbs' catalyst II. The natural pyrimidine bases (cytosine, uracil, thymine) were efficiently coupled using a Pd(0) catalyst. When the synthesized compds. were examined for their activity against several viruses such as the HIV-1, HSV-1, HSV-2 and HCMV, the cytosine analog 13 exhibited weak antiviral activity against the HCMV.
IT 866480-02-6P 868257-32-3P 868257-33-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of novel 4',5'-branched pyrimidine nucleosides)
RN 866480-02-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,5R)-4,4-bis(hydroxymethyl)-5-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

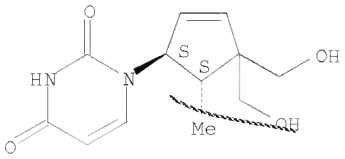
Relative stereochemistry.



RN 868257-32-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,5R)-4,4-bis(hydroxymethyl)-5-methyl-2-

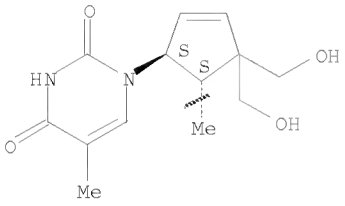
cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



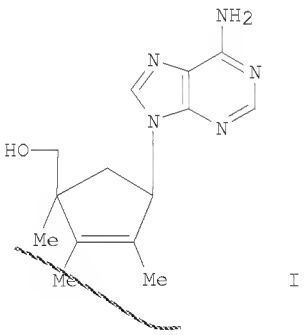
RN 868257-33-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,5R)-4,4-bis(hydroxymethyl)-5-methyl-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L10 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:161412 CAPLUS
DN 143:286623
TI Synthesis and anti-HCMV activity of novel 2',3',4'-trimethyl branched carbocyclic nucleosides
AU Kim, Aihong; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2005), 24(1), 63-72
CODEN: NNNAFY; ISSN: 1525-7770
PB Taylor & Francis, Inc.
DT Journal
LA English
OS CASREACT 143:286623
GI



I

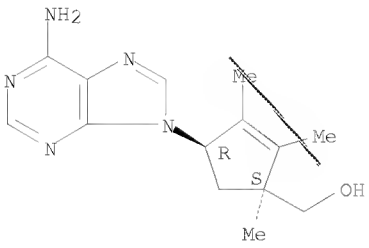
AB This article reports the synthesis of novel 2',3',4'-trimethyl branched carbocyclic nucleosides, e.g. I. The introduction of a Me group in the 2' and 3'-position was accomplished by sequential Horner-Wadsworth-Emmons reaction and isopropenyl magnesium bromide addition, resp. The construction of the 4'-quaternary carbon needed was carried out using a [3,3]-sigmatropic rearrangement. Bis-vinyls were successfully cyclized using a Grubbs catalyst II. The natural bases (adenine, cytosine) were efficiently coupled with the use of a Pd(0) catalyst. Nucleoside I was tested against several viruses such as HIV, HSV-1, HSV-2, and HCMV. I

showed antiviral activity against the HCMV (8.8 μg/mL in Davis cell) without any toxicity up to 100 μg/mL.

IT 864159-87-5P 864159-88-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and anti-HCMV activity of tri-Me branched carbocyclic nucleosides via Grubbs-catalyzed cyclization and Horner-Wadsworth-Emmons reactions)

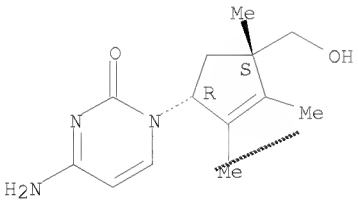
RN 864159-87-5 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1,2,3-trimethyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



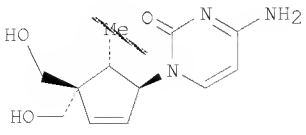
RN 864159-88-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-(hydroxymethyl)-2,3,4-trimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:144198 CAPLUS
DN 143:367510
TI Stereoselective synthesis and antiviral activity of novel 4'(α)-hydroxymethyl and 6'(α)-methyl dually branched carbocyclic nucleosides
AU Kim, Jin Woo; Choi, Bo Gil; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Gwangju, 501-759, S. Korea
SO Bulletin of the Korean Chemical Society (2004), 25(12), 1812-1816
CODEN: BKCSDE; ISSN: 0253-2964
PB Korean Chemical Society
DT Journal
LA English
OS CASREACT 143:367510
GI



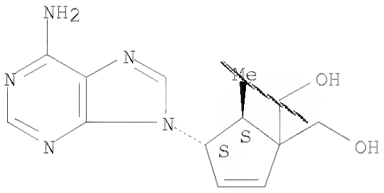
I

AB The stereoselective synthesis 4',6'-dually branched carbocyclic nucleosides was accomplished in this study. The introduction of a Me group in the 6'(α)-position was accomplished by Felkin-Anh controlled alkylation. The construction of the required 4'(α)-quaternary carbon was carried out using a [3,3]-sigmatropic rearrangement. Bis-vinyl 6 was successfully cyclized using a Grubbs' catalyst II. The natural bases (adenine, cytosine) were efficiently coupled using a Pd(0) catalyst. When the synthesized compds. were examined for their activity against several viruses such as the HIV-1, HSV-1, HSV-2 and HCMV, the cytosine analog I exhibited good antiviral activity against the HCMV.

IT 866480-01-5P 866480-02-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereoselective synthesis and antiviral activity of novel 4'(α)-hydroxymethyl and 6'(α)-Me dually branched carbocyclic nucleosides)

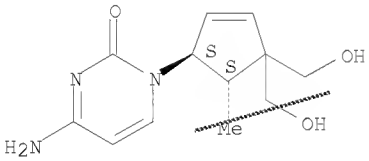
RN 866480-01-5 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-5-methyl-, (4R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



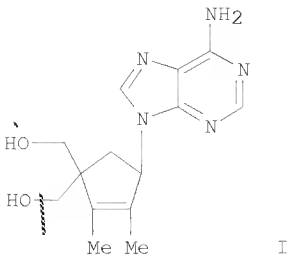
RN 866480-02-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,5R)-4,4-bis(hydroxymethyl)-5-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:1071576 CAPLUS
DN 143:153630
TI Synthesis and biological evaluation of novel 2',3',4'-triply branched carbocyclic nucleosides as potential antiviral agents
AU Ko, Ok Hyun; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Archiv der Pharmazie (Weinheim, Germany) (2004), 337(11), 579-586
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 143:153630
GI

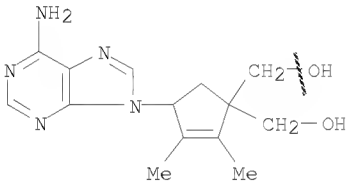


I

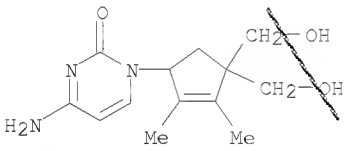
AB Novel 2',3',4'-triply branched carbocyclic nucleosides were synthesized in this study. The introduction of two Me groups in the 2'- and 3'-position was accomplished by a Horner-Wadsworth-Emmons reaction and isopropenyl magnesium bromide addition, resp. The construction of the required 4'-quaternary carbon was carried out using a [3,3]-sigmatropic rearrangement. Bisvinyls were successfully cyclized using a Grubbs' catalyst II. The natural bases (adenine, cytosine) were efficiently coupled using a Pd(0) catalyst. The antiviral activities of the synthesized compds. were evaluated against HIV-1, HSV-1, HSV-2 and HCMV. Compound I displayed moderate anti-HCMV activity (EC50 = 30.1 μg/mL), without exhibiting any cytotoxicity at up to 100 μM.

IT 859828-73-2P 859828-74-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. evaluation of novel 2',3',4'-triply branched carbocyclic nucleosides as potential antiviral agents)

RN 859828-73-2 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-2,3-dimethyl- (CA INDEX NAME)



RN 859828-74-3 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-2,3-dimethyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

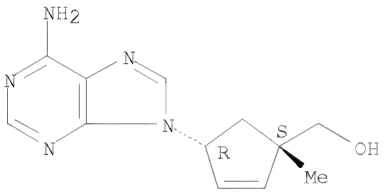


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:1016319 CAPLUS
DN 143:212094
TI Synthesis of 4'α-C methyl branched novel adenine and uracil carbocyclic nucleosides using ring-closing metathesis
AU Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Yakhak Hoechi (2003), 47(5), 271-275
CODEN: YAHOA3; ISSN: 0377-9556
PB Pharmaceutical Society of Korea
DT Journal

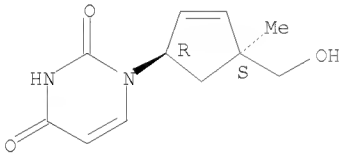
LA Korean
OS CASREACT 143:212094
AB Easy and efficient synthetic route of novel 4'-C Me branched carbocyclic nucleosides is described. The installation of alkyl and aryl groups at 4'-position of carbocyclic nucleosides were successfully made via sequential [3,3]-sigmatropic rearrangement and ring-closing metathesis (RCM) starting from simple ketones such as acetol. Adenine and uracil were coupled via Pd(0) catalyzed reaction, followed by desilylation to give novel compds. (±)-(1'R,4'S)-9-[4-(hydroxymethyl)-4-methylcyclopent-2-en-1-yl]adenine and (±)-(1'R,4'S)-9-[4-(hydroxymethyl)-4-methylcyclopent-2-en-1-yl]uracil, resp.
IT 862271-56-5P 862271-58-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 4'α-C Me branched novel adenine and uracil carbocyclic nucleosides using ring-closing metathesis)
RN 862271-56-5 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1-methyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 862271-58-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

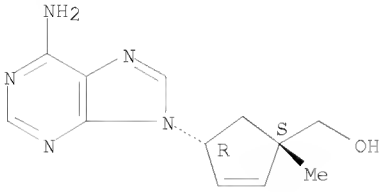
L10 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:908910 CAPLUS
DN 142:74788
TI Synthesis of 4'-Methyl and 4'-Cyano Carbocyclic 2',3'-Didehydro Nucleoside Analogues via 1,4-Addition to Substituted Cyclopentenones
AU Hegedus, Louis S.; Cross, Jeff
CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA
SO Journal of Organic Chemistry (2004), 69(24), 8492-8495
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:74788
AB Carbocyclic 4'-Me and 4'-cyano nucleoside analogs were synthesized using the Michael reaction to introduce the 4'-substituent and Pd-catalyzed allylic substitution to introduce the nucleoside base. Use of both the desired β- and undesired α-1'-carbonate diastereomers in the Pd-catalyzed substitution was demonstrated in principle by epimerization of the α-diastereomer and kinetic diastereo-differentiation of a 1:1 α/β mixture of 1'-carbonates.
IT 815587-35-0P 815587-37-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure of; synthesis of 4'-Me and 4'-cyano carbocyclic 2',3'-didehydro nucleoside analogs via Michael reaction to introduce

the 4'-substituent and Pd-catalyzed allylic substitution to introduce the nucleoside base)

RN 815587-35-0 CAPLUS

CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1-methyl-, (1S,4R)- (CA INDEX NAME)

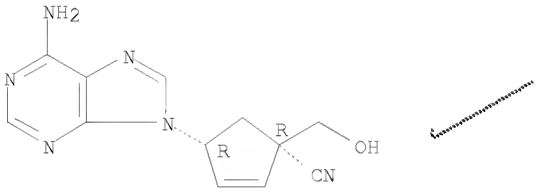
Absolute stereochemistry. Rotation (+).



RN 815587-37-2 CAPLUS

CN 2-Cyclopentene-1-carbonitrile, 4-(6-amino-9H-purin-9-yl)-1-(hydroxymethyl)-, (1R,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 815587-34-9P 815587-36-1P

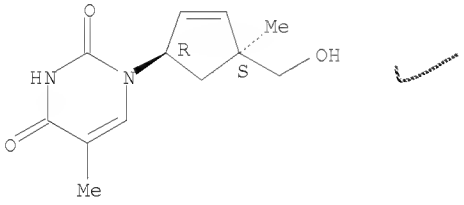
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of 4'-Me and 4'-cyano carbocyclic 2',3'-didehydro nucleoside analogs via Michael reaction to introduce the 4'-substituent and Pd-catalyzed allylic substitution to introduce the nucleoside base)

RN 815587-34-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

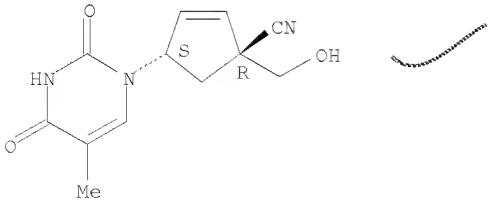
Absolute stereochemistry. Rotation (+).



RN 815587-36-1 CAPLUS

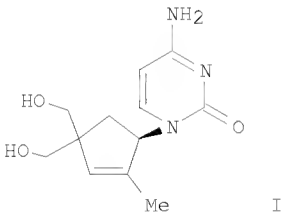
CN 2-Cyclopentene-1-carbonitrile, 4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-1-(hydroxymethyl)-, (1R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:703855 CAPLUS
DN 142:156250
TI Synthesis and antiviral activities of novel 2',4'- or 3',4'-doubly branched carbocyclic nucleosides as potential antiviral agents
AU Oh, Chang Hyun; Hong, Joon Hee
CS Medicinal Chemistry Research Center, Korea Institute of Science and Technology, Seoul, S. Korea
SO Archiv der Pharmazie (Weinheim, Germany) (2004), 337(8), 457-463
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 142:156250
GI



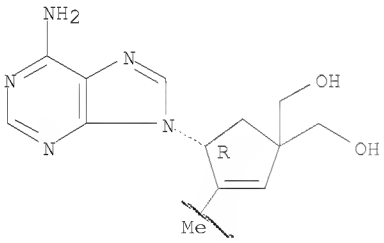
I

AB In this study, a series of 2',4'- or 3',4'-doubly branched carbocyclic nucleosides were synthesized from simple acyclic ketone derivs. as starting materials. The installation of the 4'-quaternary carbon needed was carried out using a [3,3]-sigmatropic rearrangement. In addition, the introduction of a Me group in the 2'- or 3'-position was accomplished by either Grignard reaction or Horner-Wadsworth-Emmons reaction with triethyl-2-phosphonopropionate, resp. Bis-vinyl was successfully cyclized using a Grubbs' catalyst II. The natural bases (adenine, cytosine) were coupled efficiently using a Pd(0) catalyst. Although all the synthesized compds. were assayed against several viruses, only the cytosine analog I showed moderate antiviral activity against the human cytomegalovirus.

IT 828917-08-4P 828917-09-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of novel 2',4'- or 3',4'-doubly branched carbocyclic nucleosides from acyclic ketones via [3,3]-sigmatropic rearrangement, Grignard reaction, Horner-Wadsworth-Emmons, and ring closing metathesis as well as antiviral activity)

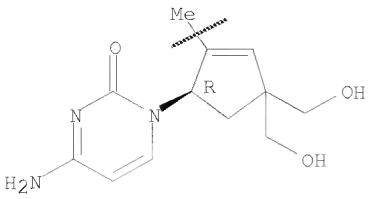
RN 828917-08-4 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-3-methyl-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 828917-09-5 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R)-4,4-bis(hydroxymethyl)-2-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:701799 CAPLUS
DN 141:225774
TI Preparation of 2',3'-dideoxy and 2',3'-didehydro nucleoside analogs as prodrugs for treating viral infections, most notably HIV
IN Cheng, Yung-chi; Tanaka, Hiromichi; Baba, Masanori
PA Yale University, USA
SO U.S. Pat. Appl. Publ., 45 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040167096	A1	20040826	US 2004-781305	20040218
	US 7589078	B2	20090915		
	AU 2004260630	A1	20050210	AU 2004-260630	20040218
	AU 2004260630	B2	20091210		
	CA 2514466	A1	20050210	CA 2004-2514466	20040218
	WO 2005011709	A1	20050210	WO 2004-US4713	20040218
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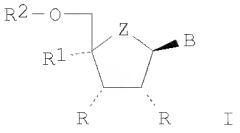
	BR 2004007374	A	20060110	BR 2004-7374	20040218
	EP 1653976	A1	20060510	EP 2004-775776	20040218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1777432	A	20060524	CN 2004-80010529	20040218
	JP 2006528972	T	20061228	JP 2006-532288	20040218
	NZ 541594	A	20090131	NZ 2004-541594	20040218
	IN 2005KN01553	A	20061027	IN 2005-KN1553	20050805
	MX 2005008736	A	20051005	MX 2005-8736	20050817
	ZA 2005006630	A	20060628	ZA 2005-6630	20050818
	US 20100048500	A1	20100225	US 2009-583229	20090817
PRAI	US 2003-448554P	P	20030219		
	US 2004-781305	A3	20040218		
	WO 2004-US4713	W	20040218		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 141:225774; MARPAT 141:225774
GI



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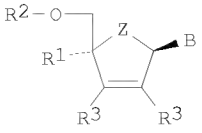
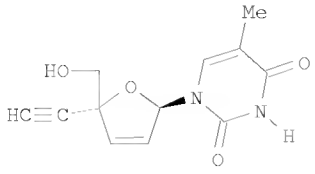


II



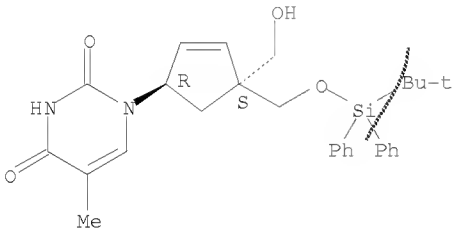
III

AB Nucleosides I, wherein B is nucleobase; Z is O or CH2; R is H, OH, halo, alkyl substituents; R1 can be H, Me, alkenyl, alkynyl; R2 is H, acyl, alkyl, ether, phosphoethers; and 2',3'-didehydro nucleosides II where Z is O; and R3 can alkyl, alkenyl, alkynyl, halo, hydroxy, were prepared as prodrugs and antiviral agents. Thus, the synthesized 2',3'-dideoxy and didehydro nucleoside analogs were tested as potential antiviral, anti-HIV and anti-infective prodrugs as independent agents, or in combination with other agents. Specifically, didehydro nucleoside III was prepared and tested in vitro as potent anti-HIV-1 agent (EC50 = 0.25 ± 0.14) and as well less toxic (ID50 >256) as D4T, therefor has the potential as a new anti-HIV drug.

IT 744217-37-6P 744217-40-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2',3'-dideoxy and didehydro nucleoside analogs and their evaluation as antiviral, anti-HIV and anti-infective prodrugs)

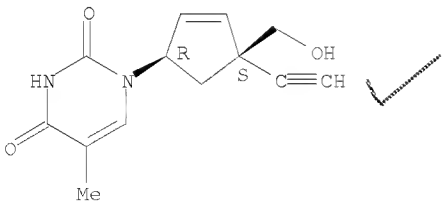
RN 744217-37-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



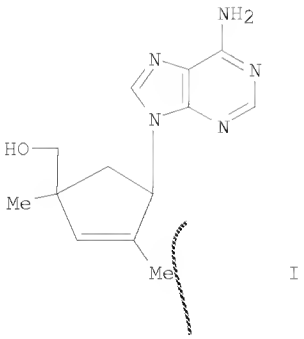
RN 744217-40-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-ethynyl-4-(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:455479 CAPLUS
DN 142:38458
TI Synthesis and Antiviral Activity of Novel 2',4'-Doubly Branched Carbocyclic Nucleosides
AU Kim, Aihong; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, S. Korea
SO Nucleosides, Nucleotides & Nucleic Acids (2004), 23(5), 813-822
CODEN: NNNAFY; ISSN: 1525-7770
PB Marcel Dekker, Inc.
DT Journal
LA English
OS CASREACT 142:38458
GI



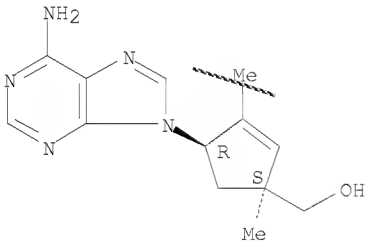
I

AB A series of 2' and 4'-doubly branched carbocyclic nucleosides, e.g. I, were synthesized as antiviral agents starting from simple acyclic ketone derivs. The required 4'-quaternary carbon was constructed using Claisen rearrangement. In addition, the installation of a Me group in the 2'-position was accomplished using a Grignard carbonyl addition of iso-propenyl-magnesium bromide. Bis-vinyl was successfully cyclized using a Grubbs' catalyst II. Natural bases (adenine, cytosine) were efficiently coupled by using Pd(0) catalyst.

IT 807613-28-1P 807613-29-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of 2',4'-doubly branched carbocyclic nucleosides via Claisen rearrangement, Grignard addition, and Grubbs'-catalyzed cyclization reactions)

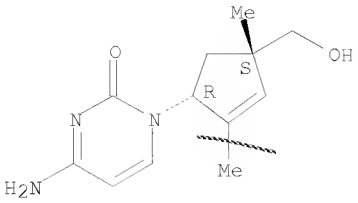
RN 807613-28-1 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1,3-dimethyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



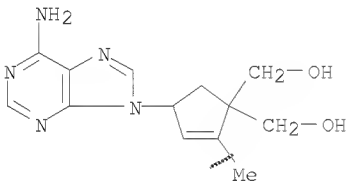
RN 807613-29-2 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-(hydroxymethyl)-2,4-dimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

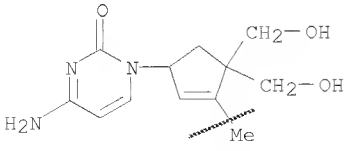


OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2004:15558 CAPLUS
DN 140:357588
TI Synthesis and antiviral evaluation of novel 3'- and 4'-doubly branched carbocyclic nucleosides as potential antiviral agents
AU Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Archives of Pharmacal Research (2003), 26(12), 1109-1116
CODEN: APHRDQ; ISSN: 0253-6269
PB Pharmaceutical Society of Korea
DT Journal
LA English
OS CASREACT 140:357588
AB A series of 3'- and 4'-branched carbocyclic nucleosides were synthesized starting from simple acyclic ketone derivs. The construction of the required quaternary carbon was made using a [3,3]-sigmatropic rearrangement. In addition, the installation of a Me group in the 3'-position was accomplished using a Horner-Wadsworth-Emmons (HWE) reaction with tri-Et 2-phosphonopropionate. The bis-vinyl compound was successfully cyclized using a Grubbs' catalyst. Natural bases (adenine, cytosine, uracil) were efficiently coupled with the use of a Pd(0) catalyst.
IT 681260-94-6P 681260-95-7P 681260-96-8P
681260-97-9P 681260-98-0P 681260-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral evaluation of novel doubly branched carbocyclic nucleosides via sigmatropic rearrangement, cyclization, and palladium-catalyzed coupling)
RN 681260-94-6 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)-2-methyl- (CA INDEX NAME)

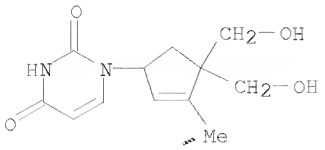


RN 681260-95-7 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-3-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)



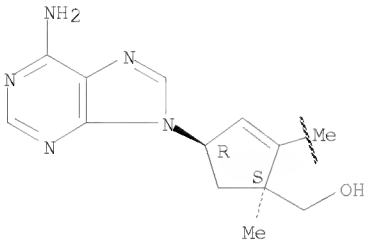
RN 681260-96-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-3-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)



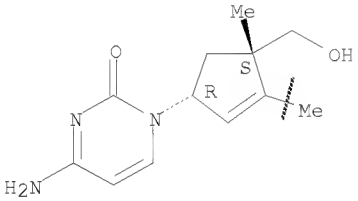
RN 681260-97-9 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(6-amino-9H-purin-9-yl)-1,2-dimethyl-, (1R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



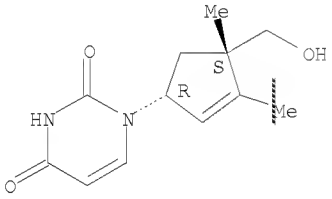
RN 681260-98-0 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(1R,4S)-4-(hydroxymethyl)-3,4-dimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 681260-99-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(1R,4S)-4-(hydroxymethyl)-3,4-dimethyl-2-cyclopenten-1-yl]-, rel- (CA INDEX NAME)

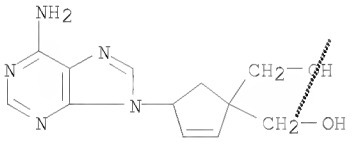
Relative stereochemistry.



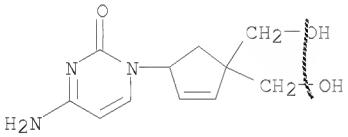
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:640957 CAPLUS
DN 138:56175
TI Efficient synthesis of novel carbocyclic nucleosides via sequential

Claisen rearrangement and ring-closing metathesis
AU Ko, Ok Hyun; Hong, Joon Hee
CS College of Pharmacy, Chosun University, Kwangju, 501-759, S. Korea
SO Tetrahedron Letters (2002), 43(36), 6399-6402
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:56175
AB Very efficient synthetic route to novel 4'α-C-hydroxymethyl branched carbocyclic nucleosides was described. The stereocontrolled synthesis of target nucleosides was successfully achieved by Johnson orthoester-Claisen rearrangement, ring-closing metathesis (RCM) starting from a simple acyclic precursor 1,3-dihydroxy acetone. Nucleosidic bases (adenine and cytosine) were coupled by Pd(0)-catalyzed allylic alkylation in a highly regiocontrolled manner.
IT 479512-76-0P 479512-79-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of racemic carbocyclic nucleosides via sequential Claisen rearrangement and ring-closing metathesis as key steps)
RN 479512-76-0 CAPLUS
CN 2-Cyclopentene-1,1-dimethanol, 4-(6-amino-9H-purin-9-yl)- (CA INDEX NAME)



RN 479512-79-3 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)



OSC.G 44 THERE ARE 44 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

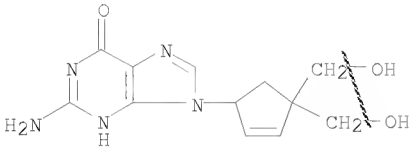
L10 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:673704 CAPLUS
DN 134:42012
TI Synthesis and antiviral evaluation of 2-amino-1,6-dihydropurin-6-oxo-9-[4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-9H-purine: an analog of the anti-HIV compound, carbovir
AU Sharma, Pawan K.; Nair, Vasu
CS Dep. of Chem., the Univ. of Iowa, Iowa City, IA, 52242, USA
SO ARKIVOC [online computer file] (2000), 1(1), 19-24
CODEN: AKVCFI
URL: http://www.arkat-usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2000/00-2023EP%20as%20published%20mainmanuscript.pdf
PB ARKAT Foundation
DT Journal; (online computer file)
LA English
OS CASREACT 134:42012
AB A novel carbovir analog, (±)-2-amino-1,6-dihydropurin-6-oxo-9-[4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-9H-purine, was synthesized starting from, 2-azabicyclo[2.2.1]hept-5-en-3-one. The key intermediate, 1-acetamido-4-bis(hydroxymethyl)cyclopent-2-ene, was prepared by the Pfitzner-Moffatt oxidation of the hydroxymethyl group of N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]acetamide, aldol condensation of the resulting aldehyde, followed by a Cannizzaro reaction. The guanine base was constructed on the amino group of

N-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]acetamide. The structures of the target mol. and the intermediates were confirmed by NMR, UV and HRMS data. Anti-HIV evaluation results are reported.

IT 313063-07-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of 2-amino-1,6-dihydropurin-6-oxo-9-[4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-9H-purine (carbovir analog))

RN 313063-07-9 CAPLUS

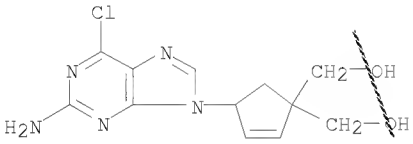
CN 6H-Purin-6-one, 2-amino-9-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-1,9-dihydro- (CA INDEX NAME)



IT 313063-06-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiviral activity of 2-amino-1,6-dihydropurin-6-oxo-9-[4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-9H-purine (carbovir analog))

RN 313063-06-8 CAPLUS

CN 2-Cyclopentene-1,1-dimethanol, 4-(2-amino-6-chloro-9H-purin-9-yl)- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2000:247034 CAPLUS

DN 133:43749

TI Synthesis of carbocyclic 4'-C-hydroxymethyl analogs of azidodeoxythymidine, deoxythymidine, deoxydidehydrothymidine and thymidine carba analog with fused oxetane ring

AU Hrebabecky, Hubert; Holy, Antonin

CS Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Prague, 166 10, Czech Rep.

SO Collection of Czechoslovak Chemical Communications (2000), 65(3), 395-406
CODEN: CCCCAK; ISSN: 0010-0765

PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DT Journal

LA English

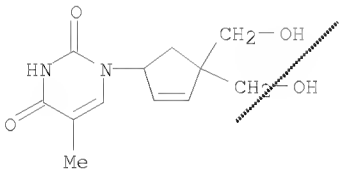
AB Tosylation of (±)-1-[trans-4-hydroxy-3,3-bis(hydroxymethyl)cyclopentyl]-5-methylpyrimidine-2(1H),4(3H)-dione (1) and (±)-1-[cis-4-hydroxy-3,3-bis(hydroxymethyl)cyclopentyl]-5-methylpyrimidine-2(1H),4(3H)-dione (2) and treatment of the obtained 1-{(1R*,3R*,4S*)-4-hydroxy-3-(hydroxymethyl)-3-[(tosyloxy)methyl]cyclopentyl}-5-methylpyrimidine-2(1H),4(3H)-dione and 1-{(1R*,3S*,4R*)-4-hydroxy-3-(hydroxymethyl)-3-[(tosyloxy)-methyl]cyclopentyl}-5-methylpyrimidine-2(1H),4(3H)dione with methanolic sodium methoxide gave 1-[(1R*,4S*,6S*)-4-hydroxymethyl-2-oxabicyclo[3.2.0]hept.6-yl]-5-methylpyrimidine-2(1H),4(3H)-dione and 1-[(1R*,4S*,6R*)-4-hydroxymethyl-2-oxabicyclo-[3.2.0]hept-6-yl]-5-

methylpyrimidine-2(1H),4(3H)-dione, resp. Treatment of (±)-1-{cis-4-mesyloxy-3,3-bis[(trityloxy)methyl]cyclopentyl}-5-methylpyrimidine-2(1H),4(3H)-dione, which was prepared from 2 by tritylation and mesylation, with 1,8-diaza-bicyclo[5.4.0]undec.7-ene in DMF afforded after deprotection (±)-1-[4,4-bis-(hydroxymethyl)cyclopent-2-en-1-yl]-5-methylpyrimidine-2(1H),4(3H)-dione (3). Hydrogenation of 3 led to (±)-1-[3,3-bis(hydroxymethyl)cyclopentyl]-5-methylpyrimidine-2(1H),4(3H)-dione. (±)-1-[Trans-4-Mesyloxy-3,3-bis[(trityloxy)methyl]cyclopentyl]-5-methylpyrimidine-2(1H),4(3H)-dione, which was prepared from 1, was converted to (1R*,9R*)-6-methyl-5-oxo-11,1-bis(trityloxymethyl)-2-oxa-4,8-diazatricyclo[7.2.1.03'8]dodec-3,6-diene (4). The compound 4 was deprotected and heated with lithium azide in DMF to give (±)-1-[trans-4-azido-3,3-bis(hydroxymethyl)cyclopentyl]-5-methylpyrimidine-2(1H),4(3H)-dione.

IT 275374-41-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of carbocyclic 4'-C-hydroxymethyl analogs of azidodeoxythymidine, deoxythymidine, deoxydidehydrothymidine and thymidine carba analog with fused oxetane ring)

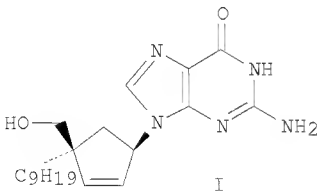
RN 275374-41-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,4-bis(hydroxymethyl)-2-cyclopenten-1-yl]-5-methyl- (CA INDEX NAME)

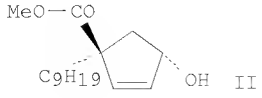


OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:614511 CAPLUS
DN 131:322858
TI Stereoselective synthesis of 4'-α-alkylcarbovir derivatives based on an asymmetric synthesis or chemo-enzymatic procedure
AU Kato, Keisuke; Suzuki, Hisaki; Tanaka, Hiromichi; Miyasaka, Tadashi; Baba, Masanori; Yamaguchi, Kentaro; Akita, Hiroyuki
CS School of Pharmaceutical Sciences, Toho University, Chiba, 274-1850, Japan
SO Chemical & Pharmaceutical Bulletin (1999), 47(9), 1256-1264
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 131:322858
GI



I



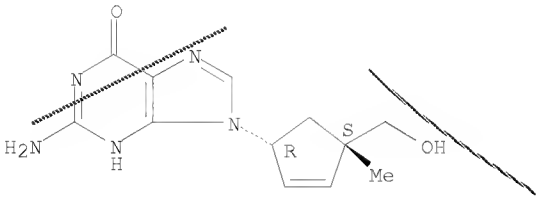
II

AB Stereoselective synthesis of 4'-α-alkylcarbovir derivs., e. g. I, was described based on asym. synthesis or a chemoenzymic procedure. The asym. alkylation of chiral acetal gave the alkylated enol ethers possessing a chiral quaternary carbon. The key carbocyclic intermediates were synthesized from enol ethers via eleven steps. Coupling of key intermediates with 2-amino-6-chloropurine followed by desilylation and subsequent hydrolysis afforded the target compds., e.g. I, in moderate yield. The optically active cyclopentene intermediates , e.g. II , were also prepared by enzymic resolution The synthesized carbocyclic nucleosides exhibited no antiviral activity against HIV-1, however the effect of the further structural modification on the antiviral activity in this series need to be investigated.

IT 206754-99-6P 206755-16-0P 206755-17-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carbocyclic nucleosides as potential antiviral agents via stereoselective alkylation or enzymic acetylation)

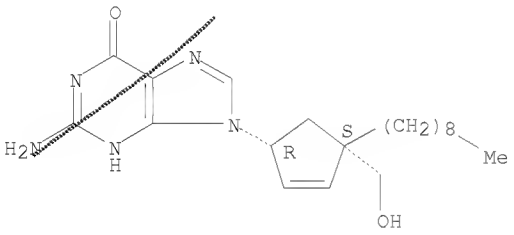
RN 206754-99-6 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



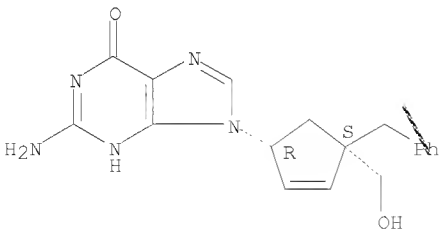
RN 206755-16-0 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-nonyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 206755-17-1 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

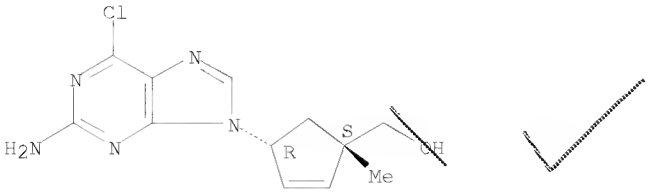


IT 206755-04-6P 206755-14-8P 206755-15-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of carbocyclic nucleosides as potential antiviral agents via stereoselective alkylation or enzymic acetylation)

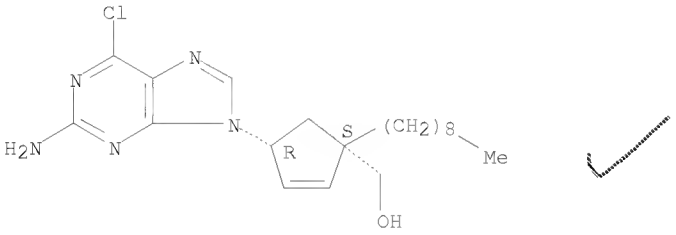
RN 206755-04-6 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-methyl-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



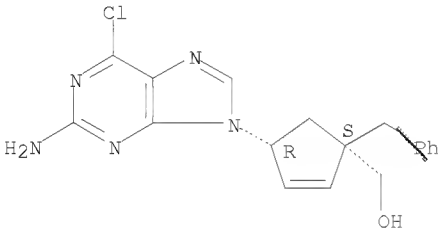
RN 206755-14-8 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-nonyl-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 206755-15-9 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-(phenylmethyl)-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

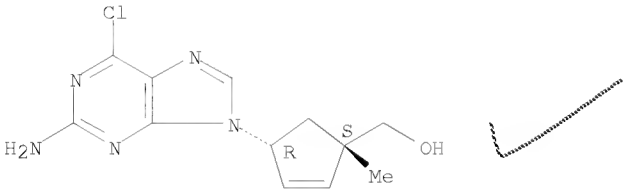
L10 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:240264 CAPLUS
DN 128:308693
OREF 128:61193a,61196a
TI Enantio- and diastereoselective synthesis of 4'-α-substituted carbocyclic nucleosides
AU Kato, Keisuke; Suzuki, Hisaki; Tanaka, Hiromichi; Miyasaka, Tadashi
CS Sch. Pharm. Sci., Toho Univ., Funabashi, CHiba, 274, Japan
SO Tetrahedron: Asymmetry (1998), 9(6), 911-914
CODEN: TASYE3; ISSN: 0957-4166
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 128:308693

AB Enantio- and diastereoselective synthesis of 4-α-alkylcarbovir derivs. were achieved based on Sakai's asym. alkylation of β-keto esters. The key carbocyclic intermediate was synthesized from an enol ether via an eleven-step sequence. Coupling of the carbocyclic intermediate with 2-amino-6-chloropurine followed by desilylation and subsequent hydrolysis gave the target compds. in moderate yields.

IT 206755-04-6P 206755-14-8P 206755-15-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantio- and diastereoselective synthesis of α-substituted carbocyclic nucleosides)

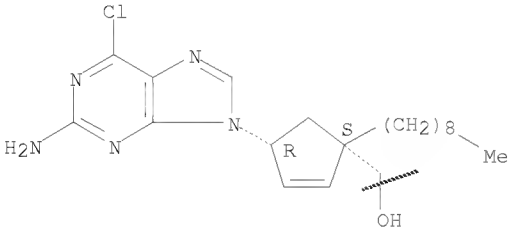
RN 206755-04-6 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-methyl-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



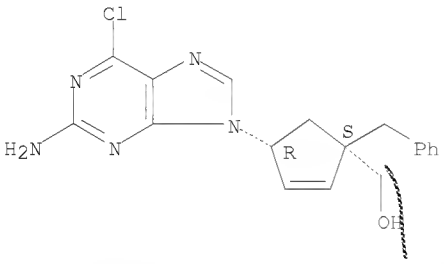
RN 206755-14-8 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-nonyl-, (1S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 206755-15-9 CAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-1-(phenylmethyl)-, (1S,4R)- (CA INDEX NAME)

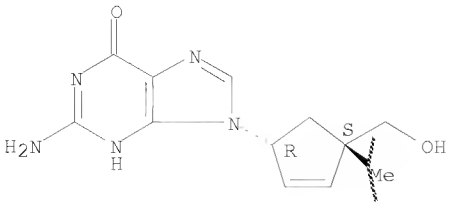
Absolute stereochemistry. Rotation (+).



IT 206754-99-6P 206755-16-0P 206755-17-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(enantio- and diastereoselective synthesis of α-substituted carbocyclic nucleosides)

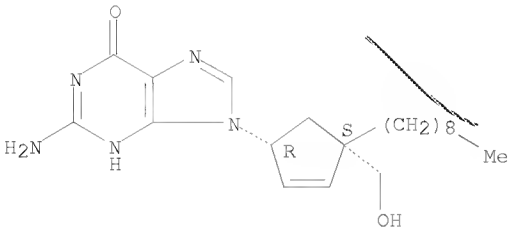
RN 206754-99-6 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-methyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



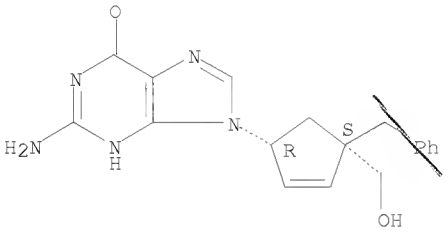
RN 206755-16-0 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-nonyl-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 206755-17-1 CAPLUS
CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-[(1R,4S)-4-(hydroxymethyl)-4-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT